

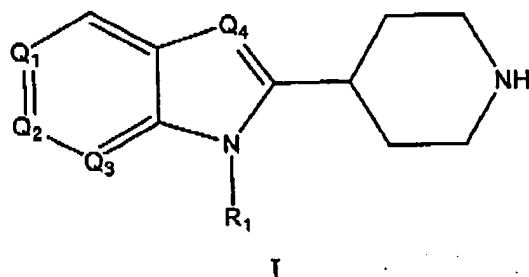
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SERIAL NO.: 10/071,978

PATENT
FILED:02/06/2002

In the Claims:

Please amend claims 1, 11, 63, 64, 73 and 76-81 to read as follows:

1. (Amended) : A compound having the formula I:



wherein:

Q₁ is CR₃;

Q₂ is CR₄;

Q₃ is CR₂₀;

Q₄ is N;

R₁ is H, alkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl, alkoxyalkoxyalkyl, alkyl-S-R₇, alkyl-NH-C(=O)-R₈ or -R₉-X-R₁₀-R₁₁)H;

wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl and alkoxyalkoxyalkyl moieties in each of the foregoing R₁ groups can be optionally substituted with up to 5 groups independently selected from the group consisting of C₁-C₆ alkyl, OH, hydroxyalkyl, -C(=O)-R₅; CN, aryl, alkoxycarbonyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with halogen, heteroarylalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino, NO₂, halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloaryl, perhaloalkylaryl, alkyl-NR₁₅R₁₆ and NR₁₅R₁₆;

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or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl or alkoxyalkoxyalkyl moieties of one of said R₁ groups can be attached to a structure of Formula I at position R₁ thereof;

R₃ and R₄ are independently each H, halogen, C₁-C₆ alkyl, trihaloalkyl, alkoxy carbonyl, alkoxy, NR₁₅R₁₆, and NO₂, wherein said C₁-C₆ alkyl, alkoxy carbonyl, and alkoxy groups can each be optionally substituted with NR₁₅R₁₆;

R₅ is H, -NHNHR₆, -NHN=CH-R₆, heteroaryl, heterocycloalkyl, wherein said heteroaryl group can be optionally substituted with an aryl or heteroaryl group,

R₆ is aryl, heteroaryl; arylsulfonyl, heteroarylsulfonyl, -C(=S)-NH-aryl, -C(=S)-NH-arylcarbonyl, -C(=S)-NH-heteroarylcarbonyl, -C(=S)-NH-alkylene-R₂₁, -C(=O)-NH-aryl, -C(=O)-NH-arylcarbonyl, -C(=O)-NH-heteroarylcarbonyl, or -C(=O)-NH-alkylene-R₂₁ where R₂₁ is carboxy, alkoxy carbonyl, aryl, heteroaryl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R₆ groups can be optionally substituted with up to 3 groups selected from NR₁₅R₁₆, alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy, arylalkyloxy, NO₂, -SH, -S-alkyl, heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula -OC₂CH₂-O- attached to adjacent atoms of said R₆ group;

R₇ is heteroaryl or heterocycloalkyl;

R₈ is aryl;

R₉ and R₁₀ are each independently alkylene having from 1 to about 20 carbons;

X is -N(R₁₂)-, -C(R₁₃)(R₁₄)- or O;

R₁₁ is H, heterocycloaryl, or alkoxy, wherein said heterocycloaryl, or alkoxy group can be optionally substituted with up to four groups independently selected from halogen, amino, trihaloalkyl, alkoxy carbonyl, and CN;

R₁₂ is H or C₁-C₆ alkyl; and

R₁₃ and R₁₄ are each independently H or C₁-C₆ alkyl,

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R₁₅ is H, halogen, C₁₋₁₂ alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula CH₂(CHOH)₄CH₂OH, wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;
R₁₆ is H, halogen, or C₁₋₆ alkyl;

or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO₂ and halogen, or a group of Formula I at position R₁ thereof;

or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a group of Formula I wherein said nitrogen atom is Q4 thereof; provided that when R₃ and R₄ are H, R₁ is not:

H, methyl, -CH₂-C(=O)-O-A where A is a cyclopentacycloocten-8-yl ether, 1-(1-methylcyclophetyl)piperidin-4-yl, 1-(1-phenylcyclophetyl)piperidin-4-yl, or ethoxyethyl.

2. (Canceled):

3. (Previously amended): The compound of claim 1 wherein R₃ and R₄ are each independently halogen, amino, NO₂, CN, C₁₋₆ alkoxy or C₁₋₆ alkyl optionally substituted with up to 3 halogen atoms.

4. (Previously amended): The compound of claim 1 wherein R₃ and R₄ are each independently halogen, amino, or NO₂.

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5. (Previously amended): The compound of claim 1 wherein R₃ and R₄ are each independently halogen.

6. (Previously amended): The compound of claim 1 wherein R₃ and R₄ are each chlorine.

7. (Previously amended): The compound of claim 1 wherein R₁ is alkyl, alkyl substituted with alkoxy carbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO₂, alkoxy carbonyl, and alkyl.

8. (Original): The compound of claim 6 wherein R₁ is alkyl, alkyl substituted with alkoxy carbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO₂, alkoxy carbonyl, and alkyl.

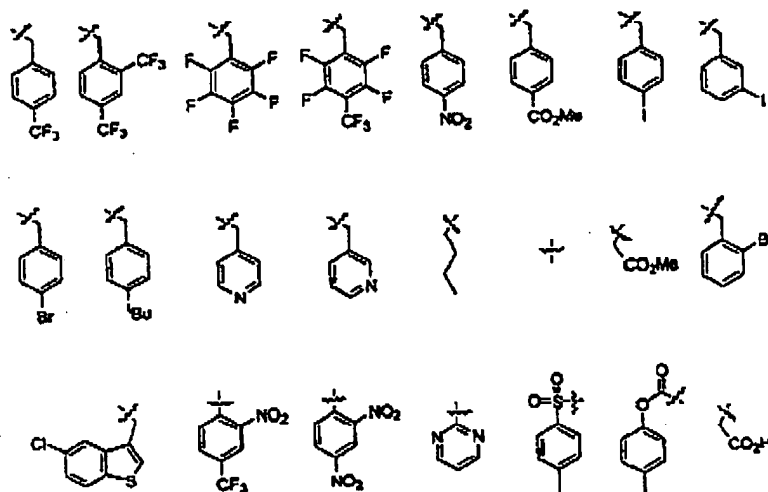
9. (Original): The compound of claim 7 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from CF₃, F, Cl, NO₂, COOCH₃, I, Br, and t-butyl.

10. (Original): The compound of claim 8 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from CF₃, F, Cl, NO₂, COOCH₃, I, Br, and t-butyl.

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11. (Currently Amended): The compound of claim 1 wherein said R_1 is selected from the radicals consisting of: ~~shown in Scheme 19, supra~~



12. (Previously amended): The compound of claim 1 wherein R_1 is alkyl substituted with - $C(=O)-R_5$.

13. (Original): The compound of claim 12 wherein R_5 is $-NHNHR_6$, or $-NHN=CH-R_6$.

14. (Original): The compound of claim 13 wherein R_5 is $-NHNHR_6$.

15. (Original): The compound of claim 13 wherein R_5 is $-NHN=CH-R_6$.

16. (Original): The compound of claim 14 wherein R_6 is $-C(=O)-NH$ -aryl, $-C(=O)-NH$ cycloalkyl, $-C(=S)-NH$ -aryl, arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, $-C(=S)-NH$ -alkylene- R_{21} where R_{21} is heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally

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having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof,

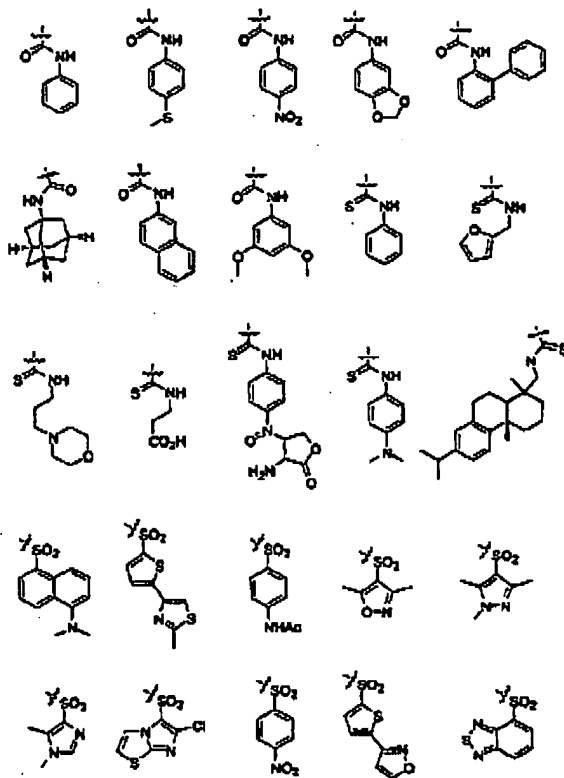
B² wherein any of said R₆ groups can be optionally substituted with up to 3 groups selected from NR₁₅R₁₆, NO₂, a moiety of formula -OC₂CH₂-O- attached to adjacent atoms of said R₆ group, aryl, C₁₋₆ alkoxy, carboxy, or C₁₋₆ trihaloalkoxy.

17. (Original): The compound of claim 15 wherein R₆ is aryl or heteroaryl optionally substituted with up to 3 groups selected from OH, C₁₋₆ alkoxy, NO₂, C₁₋₆ trihaloalkoxy, C₁₋₆ trihaloalkyl, aryl, arylalkyloxy, and a moiety of formula -OC₂CH₂-O- attached to adjacent atoms of said R₆ group.

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18. (Original): The compound of claim 14 wherein said R_4 is any of the radicals ~~shown in~~
Scheme 16, supra from the group consisting of:

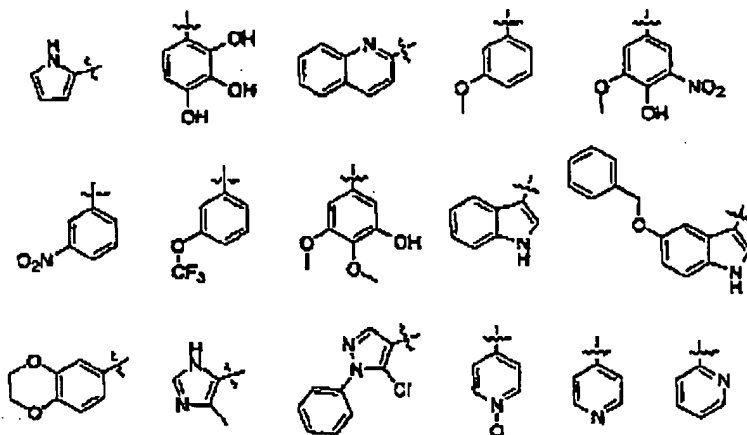


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19. (Original): The compound of claim 15 wherein said R_6 is any of the radicals of the group
consisting of: shown in

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 Scheme 15, supra



20. (Original): The compound of claim 6 wherein R_1 has the formula $-(CH_2)_q-L_4$ where q is 0 to 6 and L_4 is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino or -S-heteroaryl, where each of said L_4 is optionally substituted with up to three substituents selected from halogen and NO_2 .

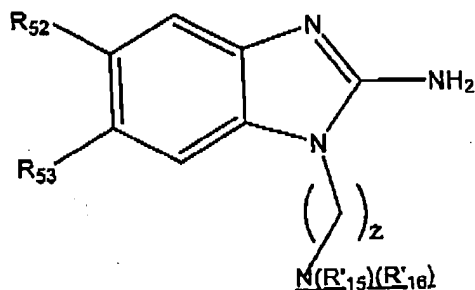
21. (Original): The compound of claim 20 wherein said L_4 is N-maleimidyl, Nsuccinimidyl, N-phthalimidyl, N-naphthalimidyl, N-pyromellitic diimidyl, phenylsulfonamidyl, phenylcarboxamidyl, N-benzopyrrolidinyl, benzimidazol-1-yl, benzimidazol-2-yl, 1,2,4-triazolyl-4-yl, or purinyl, each of said L_4 groups being optionally substituted with 1 or 2 substituents selected from halogen, trihaloalkyl, trihaloalkoxy and NO_2 .

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B² Claims 22-62. (Canceled)

63. (Currently amended): A compound of formula:



wherein;

R_{52} and R_{53} are each independently selected from H, halogen, C_1 - C_6 alkyl, trihaloalkyl, alkoxycarbonyl, alkoxy, $NR_{15}R_{16}$, and NO_2 wherein said C_1 - C_6 alkyl, alkoxycarbonyl, and alkoxy groups can each be optionally substituted with $NR_{15}R_{16}$; R_{15} is H, halogen, C_{1-12} alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula $CH_2(CHOH)_2CH_2OH$; wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

R_{16} is H, halogen, or C_1 - C_6 alkyl, but $R_{16} \neq R_{15}$;

or R'_{15} and R'_{16} together with the nitrogen atom to which they are attached

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B² can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO₂ and halogen; and z is 1 to 6.

64. (Currently amended): The compound of claim 63 wherein R₁₅ or ~~and~~ R₁₆ ~~are each~~ is methyl.

65. (Original): The compound of claim 64 wherein z is 2 or 3.

66. (Original): The compound of claim 65 wherein R₅₂ and R₅₃ are each independently H, C₁₋₆ alkyl, alkoxy optionally substituted with dialkylamino, or alkylamino.

67. (Original): The compound of claim 66 wherein R₅₂ is H.

68. (Original): The compound of claim 67 wherein R₅₃ is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.

69. (Original): The compound of claim 67 wherein R₅₃ is OCH₃ or O(CH₂)₃N(CH₃)₂.

70. (Original): The compound of claim 66 wherein R₅₃ is H.

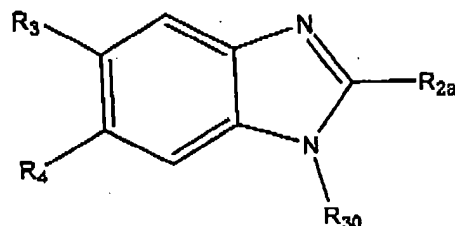
71. (Original): The compound of claim 70 wherein R₅₂ is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.

72. (Original): The compound of claim 70 wherein R₅₂ is OCH₃ or O(CH₂)₃N(CH₃)₂.

73. (Currently amended): A compound of Formula:

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wherein:

R_{2a} is amino, ~~phenyl~~, mono- or bicyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, mono- or bicyclic heteroaryl having 1 or 2 ring nitrogen atoms, cycloalkyl, halogen, heterocycloalkylalkyl (i.e., alkyl sub w' heterocycloalkyl) having 1 or 2 ring nitrogen atoms, mono- or bicyclic heterocycloalkylamino having 1 or 2 ring nitrogen atoms or a group of formula -S-alkylene-L₁ where L₁ is mono- or bicyclic-heteroaryl having 1 or 2 ring nitrogen atoms;

wherein each of said amino, phenyl, heterocycloalkyl, heteroaryl, cycloalkyl, heterocycloalkylalkyl, or heterocycloalkylamino groups can be optionally substituted with a group selected from amino, OH, C₁-C₁₂ alkyl, a structure of formula -C(=O)CH(NH₂)-L₂ where L₂ is the side chain of a naturally occurring alpha amino acid, -C(NH₂)=NH, C₁-C₁₂ alkylcarbonyl, mono- or bicyclic heteroaryl having 1 or 2 ring nitrogen atoms, mono- or bicyclic heteroarylalkyl having 1 or 2 ring nitrogen atoms, or S-alkyl-heteroaryl where said heteroaryl is mono- or bicyclic having 1 or 2 ring nitrogen atoms; and

R₃ and R₄ are each independently hydrogen, halogen, amino, NO₂, CN, C₁₋₆ alkoxy or C₁₋₆ alkyl optionally substituted with up to 3 halogen atoms; ~~and~~

R₃₀ is H, ~~alkyl~~, aryl, ~~arylalkyl~~, ~~heteroaryl~~, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl, alkoxyalkoxyalkyl, alkyl-S-R₇, alkyl-NH-C(=O)-R₃ or -R₉, X-R₁₀R₁₁)H;

wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl and alkoxyalkoxyalkyl moieties in each of

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the foregoing R₄ groups can be optionally substituted with up to 3 groups independently selected from the group consisting of C₁-C₆ alkyl, OH, hydroxyalkyl, -C(=O)-R₅, CN, aryl, alkoxy, carbonyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with halogen, heteroarylalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino, NO₂, halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloaryl, perhaloalkylaryl, alkyl-NR₁₅R₁₆ and NR₁₅R₁₆;

or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy, carbonyl or alkoxyalkoxyalkyl moieties of one of said R₄ groups can be attached to a structure of Formula I at position R₁ thereof;

R₅ is H, -NHNHR₆, -NHN=CH-R₆, heteroaryl, heterocycloalkyl, wherein said heteroaryl group can be optionally substituted with an aryl or heteroaryl group,

R₆ is aryl, heteroaryl, arylsulfonyl, heteroarylsulfonyl, -C(=S)-NH-aryl, -C(=S)-NH-arylcarbonyl, -C(=S)-NH-heteroarylcarbonyl, -C(=S)-NH-alkylene-R₂₁, -C(=O)-NH-aryl, -C(=O)-NH-arylcarbonyl, -C(=O)-NH-heteroarylcarbonyl, or -C(=O)-NH-alkylene-R₂₁ where R₂₁ is carboxy, alkoxy, carbonyl, aryl, heteroaryl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R₆ groups can be optionally substituted with up to 3 groups selected from NR₁₅R₁₆, alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy, arylalkoxy, NO₂, -SH, -S-alkyl, heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula -OC₂CH₂-O- attached to adjacent atoms of said R₆ group;

R₇ is heteroaryl or heterocycloalkyl;

R₈ is aryl;

R₉ and R₁₀ are each independently alkylene having from 1 to about 20 carbons;

X is N(R₁₂)-, -C(R₁₃)(R₁₄)- or O;

R₁₁ is H, heterocycloaryl or alkoxy, wherein said heterocycloaryl or alkoxy group can be optionally substituted with up to four groups independently selected from

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halogen, amino, trihaloalkyl, alkoxycarbonyl, and CN;

R_{12} is H or C_1-C_6 alkyl; and

R_{13} and R_{14} are each independently H or C_1-C_6 alkyl;

R_{15} is H, halogen, C_{1-12} alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula $CH_2(CHOH)_4CH_2OH$, wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

R_{16} is H, halogen, or C_1-C_6 alkyl;

or R_{15} and R_{16} together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO_2 and halogen, or a group of Formula I at position R_1 thereof;

or R_{15} and R_{16} together with the nitrogen atom to which they are attached can form a group of Formula I wherein said nitrogen atom is Q_4 thereof.

74. (Original): The compound of claim 73 wherein R_3 and R_4 are each halogen.

75. (Original): The compound of claim 73 wherein R_3 and R_4 are each chlorine.

76. (Currently amended): The compound of claim 73 wherein R_{2a} is amino, Cl, phenyl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring nitrogen atom, cyclopentyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or a group of formula $-S-(C_{24} \text{ alkylene})-N$ -phthalimido; wherein each of said phenyl, heterocycloalkyl heteroaryl, cyclopentyl, cyclohexyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally

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 substituted with a group selected from NH₂, OH, CH₃, COOCH₃, a structure of formula -C(=O)CH(NH₂)-L₂ where L₂ is a serine or threonine side chain, -C(NH₂)=NH, benzimidazolyl, or benzimidazolemethyl.

77. (Currently amended): The compound of claim 75 wherein R_{2a} is amino, Cl, phenyl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring nitrogen atom, cyclopentyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or a group of formula -S-(C₂₄ alkylene)-N-phthalimido;

wherein each of said phenyl, heterocycloalkyl heteroaryl, cyclopentyl, cyclohexyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally substituted with a group selected from NH₂, OH, CH₃, COOCH₃, a structure of formula -C(=O)CH(NH₂)-L₂ where L₂ is a serine or threonine side chain, -C(NH₂)=NH, benzimidazole, or benzimidazolemethyl.

78. (Currently amended): The compound of claim 73 wherein R_{2a} is amino, Cl, piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, piperidine-4-yl-amino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH₂, methylcarbonyl, -C(=O)CH(NH₂)-CH₂OH, methyl, OH, -C(NH₂)=NH, OH, benzimidazole-2-yl, and -CH₂-benzimidazole-2-yl.

79. (Currently amended): The compound of claim 75 wherein R_{2a} is amino, Cl, piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, piperidine-4-yl-amino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH₂, methylcarbonyl, -C(=O)CH(NH₂)-CH₂OH, methyl, OH, -C(NH₂)=NH, OH, benzimidazole-2-yl, and -CH₂-benzimidazole-2-yl.

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80. (Currently amended): The compound of claim 73 wherein R_{2a} is amino, Cl, pyridin-4-yl, phenyl substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperazin-1-yl optionally substituted at the 4-yl position by benzimidazole-2-yl, piperazin-1-yl-methyl optionally substituted at the 4-yl position by $-CH_2$ -benzimidazole-2-yl, piperidine-4-ylamino, piperidin-1-yl substituted by amino, S-alkyl-phthalyl, or said R_2 is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 .

81. (Currently amended): The compound of claim 75 wherein R_{2a} is amino, Cl, pyridin-4-yl, phenyl substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperidin-1-yl optionally substituted at the 4-yl position by benzimidazole-2-yl, piperzin-1-yl-methyl optionally substituted at the 4-yl position by $-CH_2$ -benzimidazole-2-yl, piperidine-4-ylamino, piperidin-1-yl substituted by amino, S-alkyl-phthalyl, or said R_2 is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 .

82. (Original): The compound of claim 73 wherein R_{2a} is amino, piperidin-4-yl-amino, piperiazine-1-yl optionally substituted with benzimidazole-2-yl, pyridin-4-yl, piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 , 4-amino-piperidin-1-yl, 3-amino-phen-1-yl, 3-amino-cyclopent-1-yl, cyclohexyl optionally substituted at the 3-yl or 4-yl position with NH_2 , 4-hydroxypyrrolidin-2-yl, piperzin-1-yl-methyl, 4-(benzimidazole-2-yl-methyl)piperazin-1-yl-methyl, or S-alkyl-phthalyl where said alkyl has from 2 to 4 carbons.

83. (Original): The compound of claim 73 wherein R_{2a} is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$,

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or CH₃.

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84. (Original): The compound of claim 75 wherein R_{2a} is piperidin-4-yl optionally substituted at the 1-yl position with -C(=O)CH₃, -C(=O)CH(NH₂)-CH₂OH, -C(NH₂)=NH, or CH₃.

85. (Original): The compound of claim 73 wherein R_{2a} is piperidin-4-yl.

86. (Original): The compound of claim 75 wherein R_{2a} is piperidin-4-yl.

87. (Original): The compound of claim 73 wherein R_{2a} is NH₂.

88. (Original): The compound of claim 75 wherein R_{2a} is NH₂.

89. (Original): The compound of claim 86 wherein R₃₀ is alkyl substituted with -C(=O)-R₅.

90. (Original): The compound of claim 89 wherein R₅ is -NHNHR₆, or -NHN=CH-R₆.

91. (Original): The compound of claim 90 wherein R₅ is -NHNHR₆.

92. (Original): The compound of claim 90 wherein R₅ is -NHN=CH-R₆.

93. (Original): The compound of claim 91 wherein R₆ is -C(=O)-NH-aryl, -C(=O)-NH-cycloalkyl, -C(=S)-NH-aryl, arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, -C(=S)-NH-alkylene-R₂₁ where R₂₁ is heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R₆ groups can be optionally substituted with up to 3 groups

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selected from $\text{NR}_{15}\text{R}_{16}$, NO_2 , a moiety of formula $-\text{OC}_2\text{CH}_2-\text{O}-$ attached to adjacent atoms of said R_6 group, aryl, C_{1-6} alkoxy, carboxy, or C_{1-6} trihaloalkoxy.

94. (Original): The compound of claim 92 wherein R_6 is aryl or heteroaryl optionally substituted with up to 3 groups selected from OH, C_{1-6} alkoxy, NO_2 , C_{1-6} trihaloalkoxy, C_{1-6} trihaloalkyl, aryl, arylalkoxy, and a moiety of formula $-\text{OC}_2\text{CH}_2\text{O}-$ attached to adjacent atoms of said R_6 group.

95. (Cancelled).

96. (Original): The compound of claim 86 wherein R_{30} has the formula $-(\text{CH}_2)_q-\text{L}_4$ where q is 0 to 6 and L_4 is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino or -S-heteroaryl, where each of said L_4 is optionally substituted with up to three substituents selected from halogen and NO_2 .

97. (Original): The compound of claim 96 wherein said L_4 is maleimido, succinimido, phthalimido, naphthalimido, pyromellitic diimido, phenylsulfonamido, phenylcarboxamido, benzopyrrolidine, benzimidazole, triazole, or -S-benzimidazole.

Claims 98-106 (Canceled)